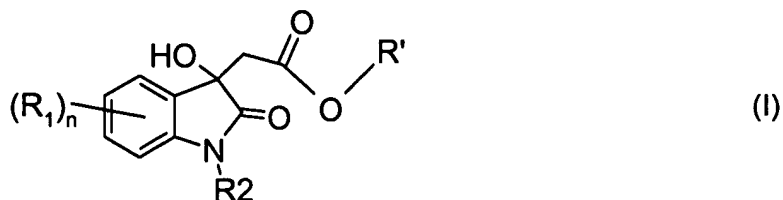


1. (original): A method for the manufacture of pharmaceuticals or of a compound of the formula I or II defined below, comprising a method for the manufacture of esters of the formula I,



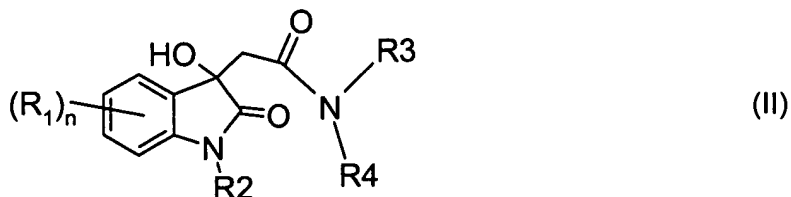
wherein n is a number from 0 to 4,

each  $R_1$  is, independently of the other substituents  $R_1$ , unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxy, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

$R_2$  is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

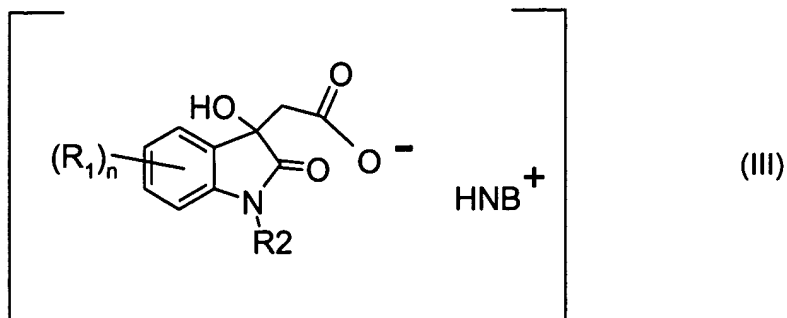
$R'$  is unsubstituted or substituted alkyl,

or of amides of the formula II,



wherein n,  $R_1$  and  $R_2$  are as defined under formula I and  $R_3$  and  $R_4$  are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge

(thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C<sub>3</sub>-C<sub>8</sub>-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge where a starting material of the formula III,



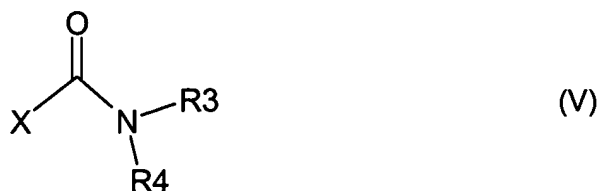
wherein n, R<sub>1</sub> and R<sub>2</sub> have the meanings given under formula I and NB is a tertiary nitrogen base where the nitrogen is not part of a ring, is reacted

(a) for the synthesis of an ester of the formula I with an active carbonic ester of the formula IV,



wherein X is halogen and R' is as defined under formula I, to give the corresponding ester of the formula I, or

(b) for the synthesis of an amide of the formula II with an active amido carbonic acid derivative of the formula V,



wherein X is halogen and R<sub>3</sub> and R<sub>4</sub> are as defined under formula II, to give the corresponding compound of the formula II.

2. (cancelled).

3. (currently amended): The method according to claim 1 where the substituents and symbols, as far as present in the compounds of the formulae I to V, have the following meanings:

n is an integer from 0 to 3;

each  $R_1$  is, independently, lower alkyl; lower alkyl substituted by up to three moieties selected from N,N-di-lower alkylamino, N-phenyl-lower alkylamino, N,N-bis (phenyl-lower alkyl)-amino, N,N-di-lower acylamino, N-lower acylamino, alkylated and/or acylated hydrazino of the formula  $R_{20}R_{21}N-N(R_{22})$ - wherein  $R_{20}$  is alkyl or acyl or substituted alkyl and  $R_{21}$  is hydrogen or  $R_{20}$  and  $R_{22}$  is hydrogen or acyl; halo-lower alkyl;  $C_3$ - $C_{10}$ -cycloalkyl; lower alkoxy; aryl-lower alkoxy; lower alkanoyloxy; N,N-di-lower alkylamino; N-phenyl-lower alkylamino, N,N-bis (phenyl-lower alkyl)-amino, N'-phenyl-lower alkylhydrazino, N',N'-bis (phenyl-lower alkyl)-hydrazino, each of which contains phenyl unsubstituted or substituted; N',N'-di-lower alkylhydrazino; unsubstituted or substituted aryl; unsubstituted or substituted heterocyclyl; unsubstituted or lower alkyl substituted and/or mono- or di-oxosubstituted heterocyclenyl or heterocyclyl; alkylsulfonyl; sulfonyl alkyl; unsubstituted, N-mono- or N,N-disubstituted aminosulfonyl alkyl; hydroxy; mercapto; nitro; halogen; cyano; carboxamido or carboxhydrazido; N-mono- or N,N-disubstituted carboxamido; unsubstituted or substituted alkoxycarbonyl; unsubstituted or substituted alkoxy; formyl or other alkanoyl; unsubstituted or substituted alkenyl; unsubstituted or substituted alkynyl;

or  $R_1$  is a residue of a boronic acid or an ester thereof;

$R_2$  is hydrogen or unsubstituted or substituted alkyl with substituents as defined for substituted lower alkyl- $R_4$   $R_1$ ; unsubstituted or substituted lower alkoxycarbonyl wherein the substituents are independently selected from lower alkyl and phenyl-lower alkyl; unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl; unsubstituted or substituted phenyl; carbamoyl or N-mono- or N,N-disubstituted carbamoyl; silyl substituted by three moieties independently selected from unsubstituted or substituted lower alkyl as defined for unsubstituted or substituted lower alkyl- $R_4$   $R_1$  and from substituted or unsubstituted aryl as defined above for- $R_4$   $R_1$ ; or acyl selected from lower alkoxycarbonyl, unsubstituted or substituted aryloxycarbonyl or unsubstituted or substituted aryl-lower alkoxycarbonyl, each with unsubstituted or substituted aryl as defined above for- $R_4$   $R_1$ , or aryl-carbonyl, aryl-lower alkylcarbonyl or (unsubstituted or substituted lower alkyl)-carbonyl, and  $R'$  is unsubstituted or substituted alkyl;

and in formula II  $R_3$  and  $R_4$  is each lower alkyl or  $R_3$  and  $R_4$  together form a lower alkylene bridge.

4. (currently amended): The method according to claim 1 ~~any one of claims 1 to 3~~, wherein NB is a tri-lower alkylamine, ~~especially triethylamine~~.

5. (currently amended): A compound of the formula I as defined in claim 1, or a salt thereof, wherein n is 1 - 4, and

each R<sub>1</sub> is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxy, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

provided that when n is 1 and R<sub>1</sub> is lower alkyl, R<sub>1</sub> is located in the position para to the isatine nitrogen (5-position),

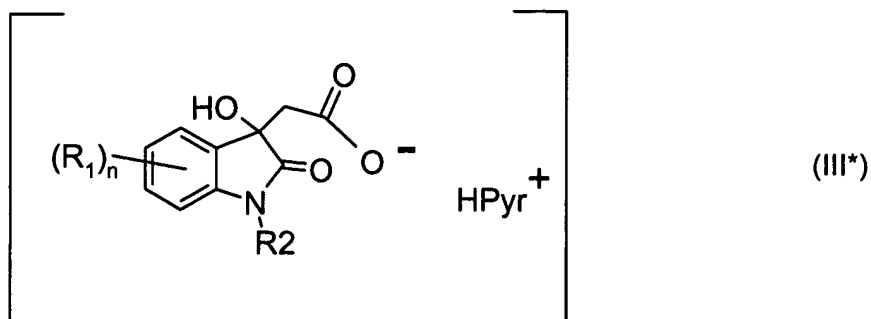
~~and with the exception of a compound of the formula I wherein R<sub>1</sub> is 5- or 7-chloro or 5- or 7- hydroxy or alkoxy or alkanoyloxy, and with further exception of the compound 3-hydroxy-3-butyloxycarbonylmethyl-7-ethyl-6-hydroxy-indolidin-2-one.~~

6. (currently amended): A compound of the formula II as defined in ~~any one of claims 1 or 3~~ claim 1, or a salt thereof.

7. (currently amended): A method according to ~~any one of claims 1 to 4~~ claim 1 wherein the compound of the formula III is obtained by reaction of an isatine derivative of the formula VI,



wherein n, R<sub>1</sub> and R<sub>2</sub> have the meanings given under formula I in ~~any one of claims 1 or 3~~ claim 1, with malonic acid in the presence of a pyridine, followed by conversion of the resulting product of the formula III\*,



wherein  $n$ ,  $R_1$  and  $R_2$  have the meanings given under formula I and  $\text{HPyr}^+$  is the respective cation resulting from a pyridine as mentioned above, into the salt of the base NB given in formula III.

8. (currently amended): A method according to claim 7, where the reaction of the compound of the formula VI with malonic acid in the presence of a pyridine and optionally a co-solvent, the subsequent conversion into the salt of the formula III with the base NB and reaction a) or b) of claim 1

(a) for the synthesis of an ester of the formula I, comprising further reaction with an active carbonic ester of the formula IV,



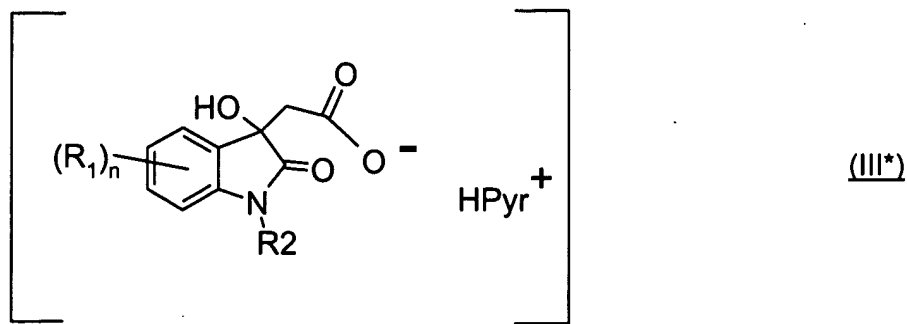
wherein X is halogen and  $R'$  is as defined under formula I, to give the corresponding ester of the formula I, or

(b) for the synthesis of an amide of the formula II, comprising further reaction with an active amido carbonic acid derivative of the formula V,



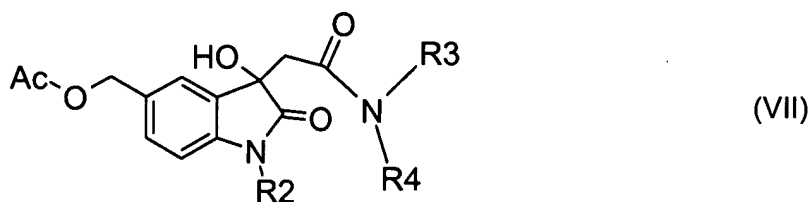
wherein X is halogen and  $R_3$  and  $R_4$  are as defined under formula II, to give the corresponding compound of the formula II take place in the same reaction vessel.

9. (currently amended): A compound of the formula III\* ~~as mentioned in claim 7,~~



wherein n, ~~R1~~ R<sub>1</sub> and R<sub>2</sub> have the meanings given for compounds of the formula I or II in claim 1 ~~or 3~~, except for a compound of formula I wherein n is zero or 1 and ~~R1~~ R<sub>1</sub> is lower alkyl.

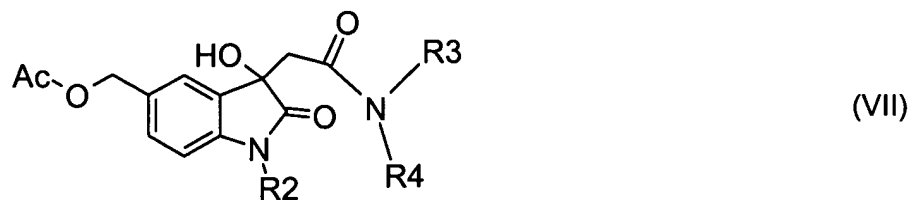
10. (currently amended): The method according to ~~any one of claims 1 to 4 and 7 or 8~~ claim 1, where in a further step an amide of the formula II wherein n is zero and thus R<sub>1</sub> is absent is converted to a compound of the formula VII,



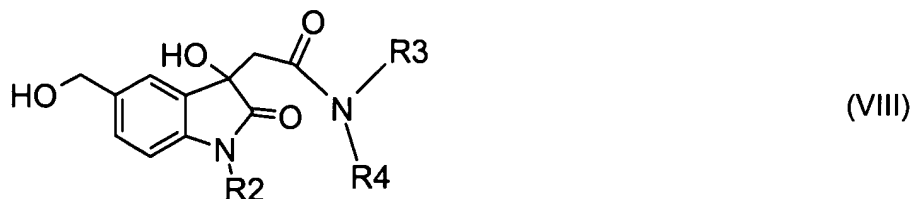
wherein Ac is acetyl and R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings indicated for compounds of the formula II according to claim 1 with the proviso that in the compound of the formula II and of the formula VII, R<sub>2</sub> is other than hydrogen;

by the reaction with formaldehyde or a precursor thereof in the presence of acetic acid.

11. (currently amended): A method according to claim 10, further comprising transforming the compound of the formula VII

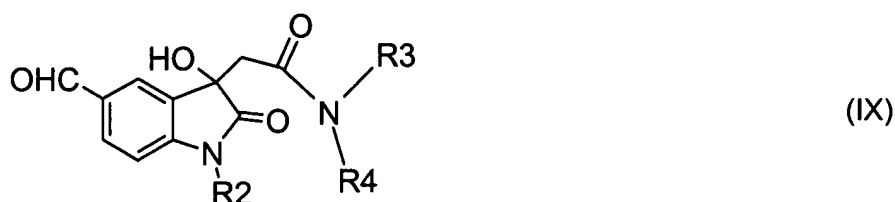


into the corresponding free alcohol of the formula VIII,



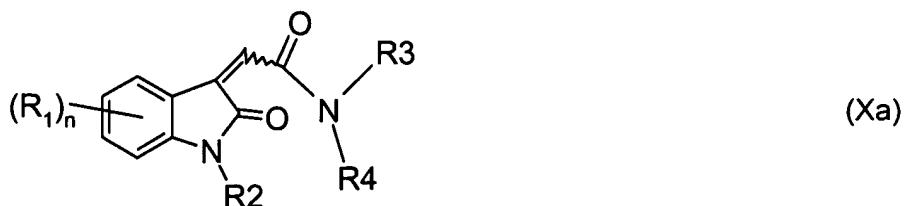
wherein R2, R3 and R4 are as defined under formula VII according to claim 10.

12. (original): A method according to claim 11, further comprising reacting the alcohol of the formula VIII shown in claim 11 with an oxidising agent to give the corresponding compound of the formula IX



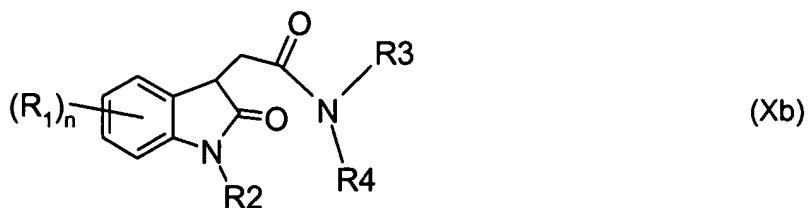
wherein R2, R3 and R4 have the meanings given under formula VII.

13. (currently amended): A method according to ~~any one of claims 1 to 4~~ claim 1, where an amide compound of the formula II wherein R2 has one of the meanings given in ~~claims 1 or 3~~ claim 1 other than hydrogen is further reacted with a dehydrating agent to give a compound of the formula Xa,



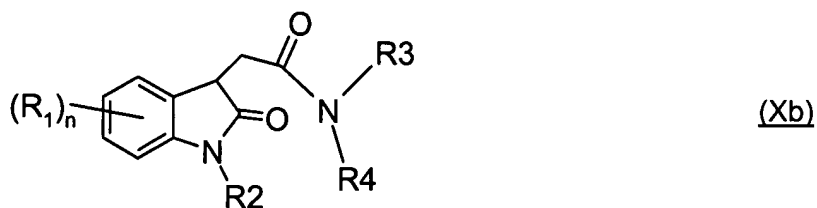
wherein n and R<sub>1</sub> are as defined under formula I in claim 1 and R3 and R4 are, independently of each other, unsubstituted or substituted alkyl, or together form an unsubstituted or substituted alkylene bridge.

14. (original): A method according to claim 13, further comprising reducing the compound of the formula Xa in the presence of a reductant to a compound of the formula Xb,



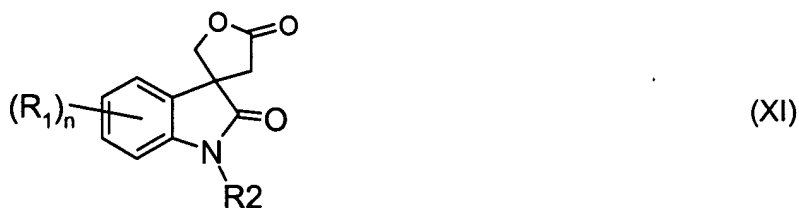
wherein n, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for a compound of the formula Xa in claim 13.

15. (currently amended): A method according to ~~any one of claims 1 to 4~~ claim 1, where a compound of the formula Xb



~~as defined in claim 14~~ wherein n and R<sub>1</sub> are as defined under formula I in claim 1 and R<sub>3</sub> and R<sub>4</sub> are, independently of each other, unsubstituted or substituted alkyl, or together form an unsubstituted or substituted alkylene bridge is obtained by hydrogenation of the benzylic 3-hydroxy group in a compound of the formula II according to claim 1.

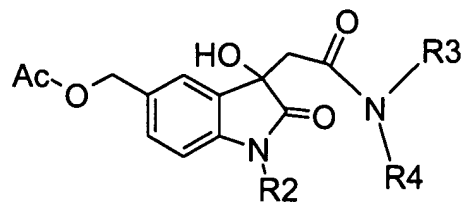
16. (original): A method for the synthesis of a tryptamine derivative having pharmaceutically useful properties, or a method of preparing a spiro indole of the formula XI



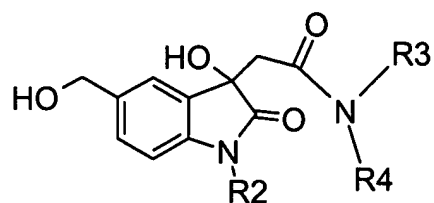
comprising converting a compound of the formula Xb as defined in claim 14 to a spiro indole of the formula XI by reaction with formaldehyde or a precursor thereof, wherein n, R<sub>1</sub> and R<sub>2</sub> are as defined in claim 14.

17. (currently amended): A compound of the formula VII, VIII, IX, Xa, Xb or XI

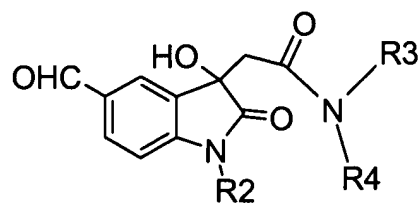




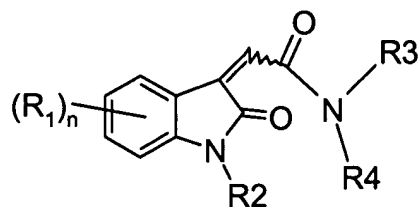
(VII)



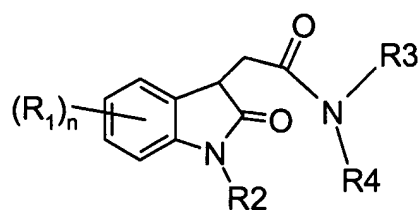
(VIII)



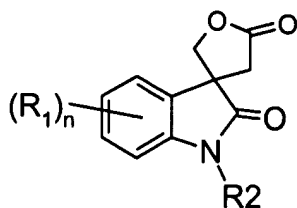
(IX)



(Xa)



(Xb)



(XI)

wherein

Ac is acetyl,

n is a number from 0 to 4,

each  $R_1$  is, independently of the other substituents  $R_1$ , unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxy, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

$R_2$  is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

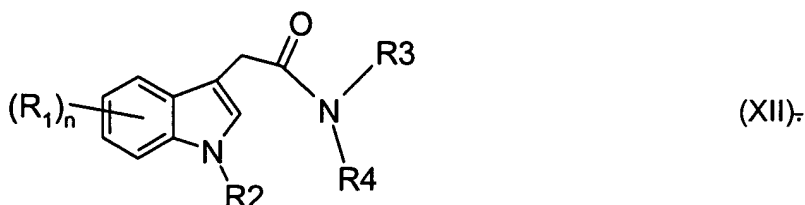
$R_3$  and  $R_4$  are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a  $C_3$ - $C_8$ -cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge.

of the formulae VII or VIII as defined in claim 11 or of the formula IX as defined in claim 12 or of the formula Xa as defined in claim 13 or of the formula Xb as defined in claim 14 or of the formula XI as defined in claim 16.

18. (currently amended): A method according to ~~any one of claims 1 to 3~~ claim 1, further comprising reducing a compound of the formula II wherein n,  $R_2$ ,  $R_3$  and  $R_4$  are, independently of each other, as defined in claim 1, and  $R_1$  is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or

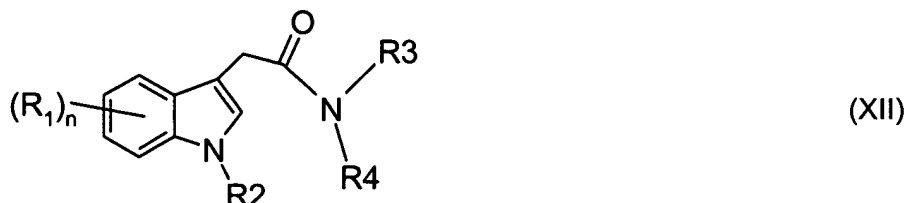
N,N-disubstituted carboxamido, unsubstituted or substituted alkoxy, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, or is a residue of a boronic acid or an ester thereof, in the presence of a complex hydride.

19. (currently amended): The method according to claim 18 wherein as reductant a borane di-lower alkyl sulfide is used, resulting in the formation of the corresponding indole of the formula XII



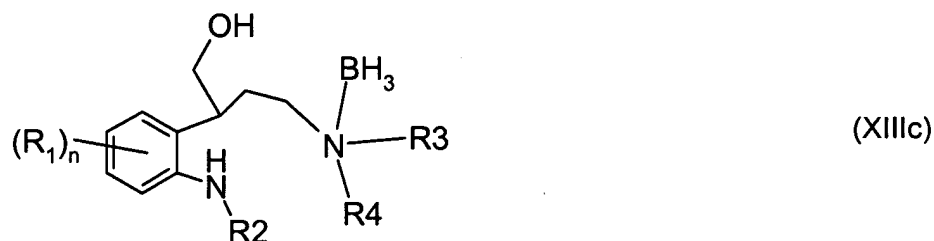
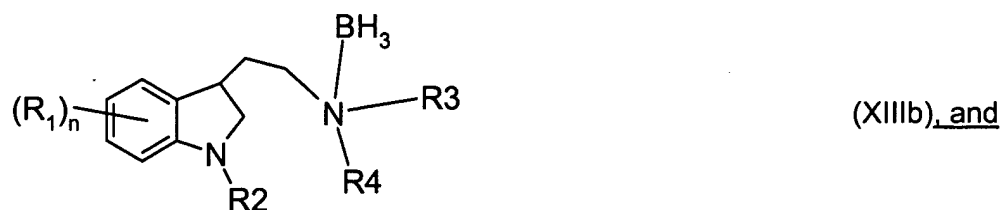
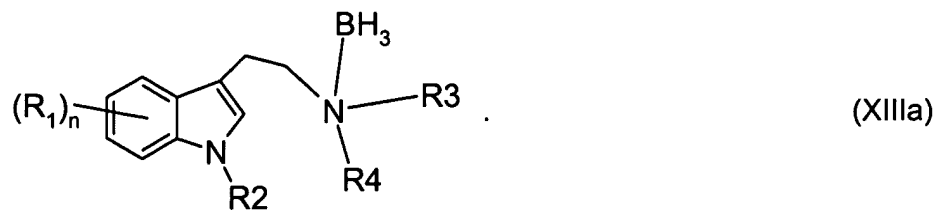
wherein the symbols and moieties are as defined in claim 18.

20. (original): A compound of the formula XII



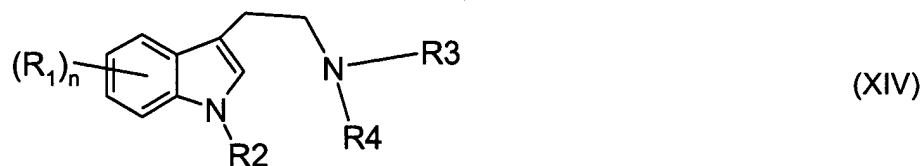
wherein n, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for formula II in claim 18, provided that R<sub>1</sub> is not 5-methoxy if n is 1.

21. (currently amended): The method according to claim 18 where reaction of the compound of the formula II takes place in the presence of an alkali metal borohydride and a boron trifluoride etherate, yielding a mixture containing compounds of the formulae XIIIa, XIIIb and XIIIc,



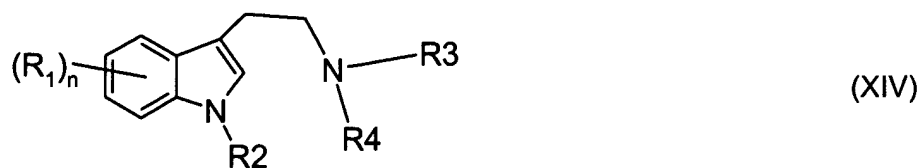
wherein n, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 18 for the starting compounds of the formula II.

22. (original): A process according to claim 21, further comprising the conversion of the mixture of compounds XIIIa, XIIIb and XIIIc into a compound of the formula XIV



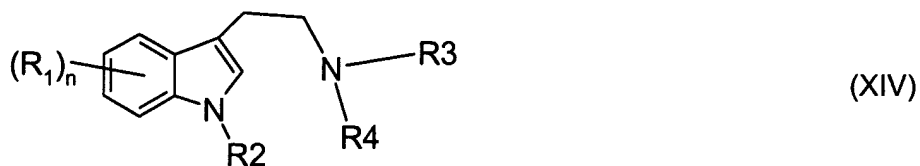
wherein n, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined under formula XIIIa, XIIIb and XIIIc in claim 21, by reaction with diazabicyclo[2.2.2]octane and subsequent dehydrogenation or oxidation with an oxidant.

23. (original): A compound of the formula XIV

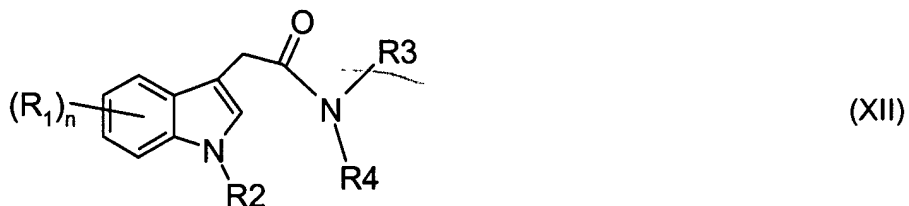


wherein n, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 1 and R<sub>1</sub> is a residue of a boronic acid or ester thereof, lower alkyl, lower alkyl substituted by up to three moieties selected from N,N-di-lower acylamino and N-lower acylamino, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>2</sub>-C<sub>4</sub>alkoxy, nitro, halogen, lower alkanoyloxy, unsubstituted or substituted aryl, unsubstituted or lower alkyl substituted and/or mono- or di-oxosubstituted nitrogen-heterocyclenyl or nitrogen-heterocyclyl, sulfonyl alkyl, mercapto, C<sub>2</sub>-C<sub>8</sub>alkanoyl, unsubstituted or substituted alkenyl, or unsubstituted or substituted alkynyl, or a salt thereof.

24. (currently amended): Conversion of a compound of the formula XIV according to claim 22



or of the formula XII ~~according to claim 19,~~



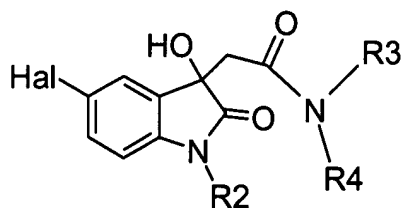
where n, R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined in claim 22 and R<sub>2</sub> is hydrogen, respectively, by introduction of a moiety R<sub>2</sub> which is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy, unsubstituted or substituted aryl, carbamoyl, N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl; wherein unsubstituted or substituted alkyl is introduced by reaction with a strong base, ~~e.g. NaH,~~ with a corresponding unsubstituted or substituted alkyl derivative of the formula XV,

Alk-L

(XV)

wherein Alk is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy carbonyl, unsubstituted or substituted aryl, carbamoyl, N-mono- or N,N-disubstituted carbamoyl, and L is a leaving group, to give the corresponding compound of the formula XII or XIV wherein R<sub>2</sub> is unsubstituted or substituted alkyl; or acyl is introduced by reaction with the corresponding acylhalogenides or mixed or symmetrical acid anhydrides with one or two of the corresponding acyl moieties; or the silyl derivatives are introduced using the corresponding silylhalogenides, respectively; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said conversion.

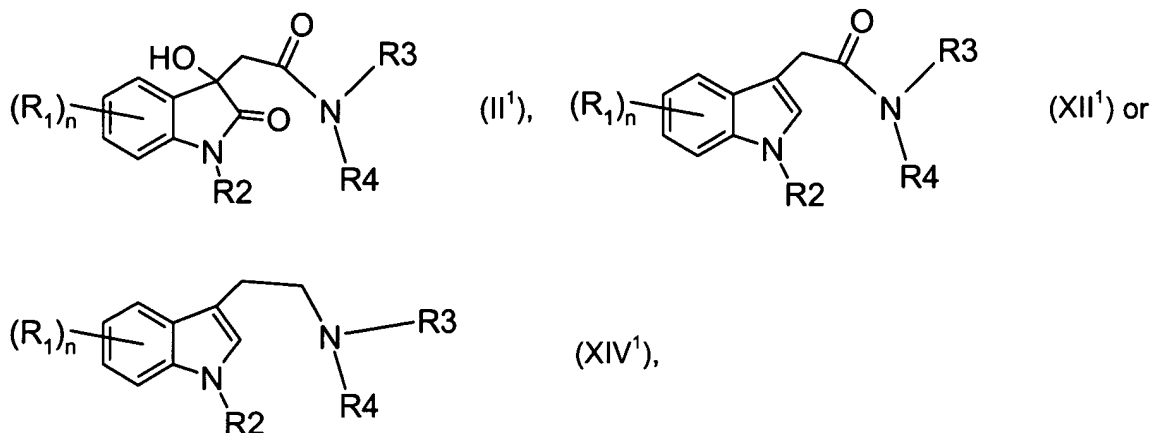
25. (currently amended): A process for the introduction into a compound of the formula II as defined in claim 18 where n is zero and the other substituents are as defined in ~~claim 1 or 3~~ therein, of a moiety R<sub>1</sub> resulting from electrophilic substitution reaction with a halogen R<sub>1</sub> by reaction with a halo-succinimide, or nitro by reaction with nitric acid, leading to a compound of the formula XVI,



(XVI)

wherein Hal is nitro or halogen, and R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings given for a compound of the formula II; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

26. (currently amended): A process for the manufacture of a compound of the formula II<sup>1</sup>, XII<sup>1</sup> or XIV<sup>1</sup>, respectively,

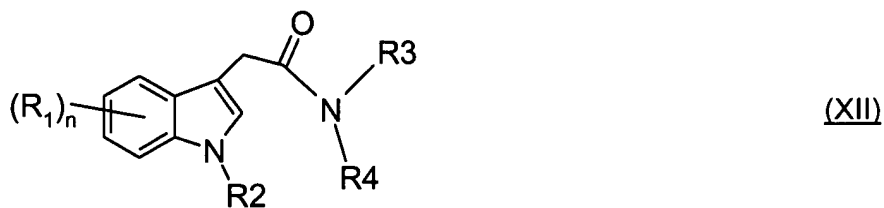


wherein n is 1 or 2,

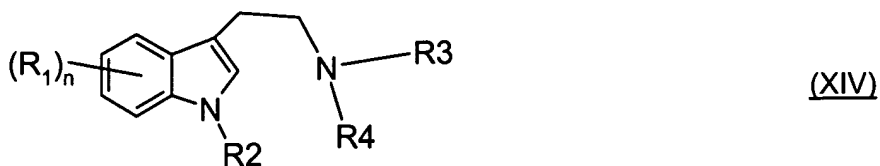
$R_1$  is unsubstituted or substituted aryl or unsubstituted or substituted heterocyclyl,

$R_2$  is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy carbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

$R_3$  and  $R_4$  are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a  $C_3$ - $C_8$ -cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge, and  $R_2$ ,  $R_3$  and  $R_4$  have the meanings given under formula II in claim 1 or 3, comprising reacting a compound of the formula II as defined in claim 18 for the synthesis of compound II<sup>1</sup>, or of the formula XII



~~as defined in any one of claims 19, 20 or 24~~ for the synthesis of compound XII<sup>1</sup>, or of the formula XIV

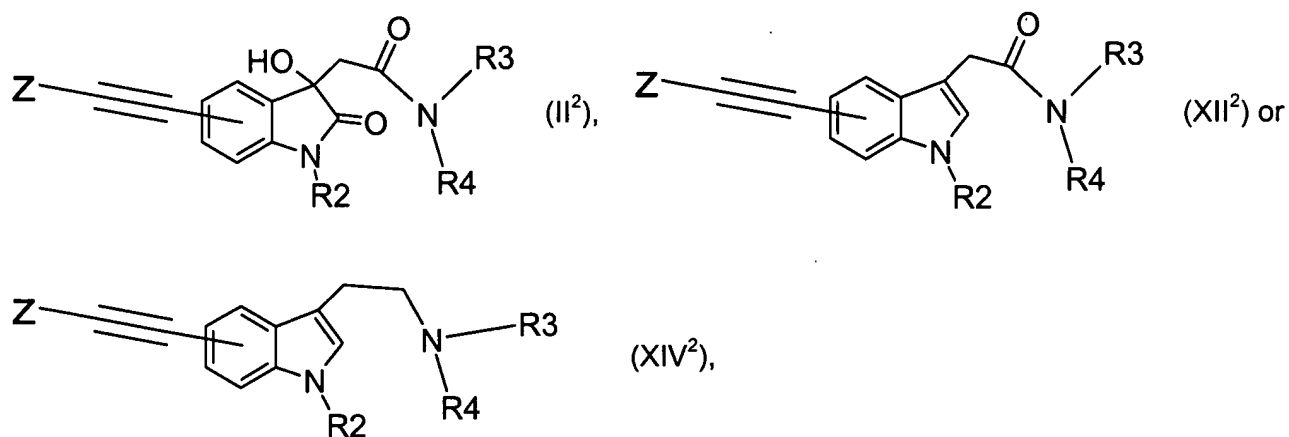


~~as defined in any one of claims 22, 23 or 24~~ for the synthesis of compound XIV<sup>1</sup>, wherein in each case n is 1 or 2, and R1 is halogen and R2, R3 and R4 are as defined above, under the conditions of the Suzuki coupling or analogous conditions with a compound of the formula (A),



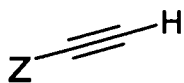
wherein Ar is unsubstituted or substituted aryl or heterocyclyl and Y is OH, into the corresponding compounds of the formulae II<sup>1</sup>, XII<sup>1</sup> or XIV<sup>1</sup>, respectively; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

27. (currently amended): A process for the reaction of a compound of the formula II, XII or XIV as defined in claim ~~18~~ 26, ~~of the formula XII as defined in any one of claims 19, 20 or 24, or of a compound of the formula XIV as defined in any one of claims 22, 23 or 24,~~ with the proviso that in each of the compounds of the formulae II, XII and XIV, n is 1 and ~~R1~~ R1 is halogen, to a compound of the formulae II<sup>2</sup> from compound II, to a compound of the formula XII<sup>2</sup> from compound XII or to a compound of the formula XIV<sup>2</sup> from compound XIV, respectively,



wherein Z is unsubstituted or substituted alkyl, and R2, R3 and R4 are as defined ~~under formula II in claim 26~~, respectively, by coupling under the conditions of or analogous to a Sonogashira coupling with a compound of the formula (B),

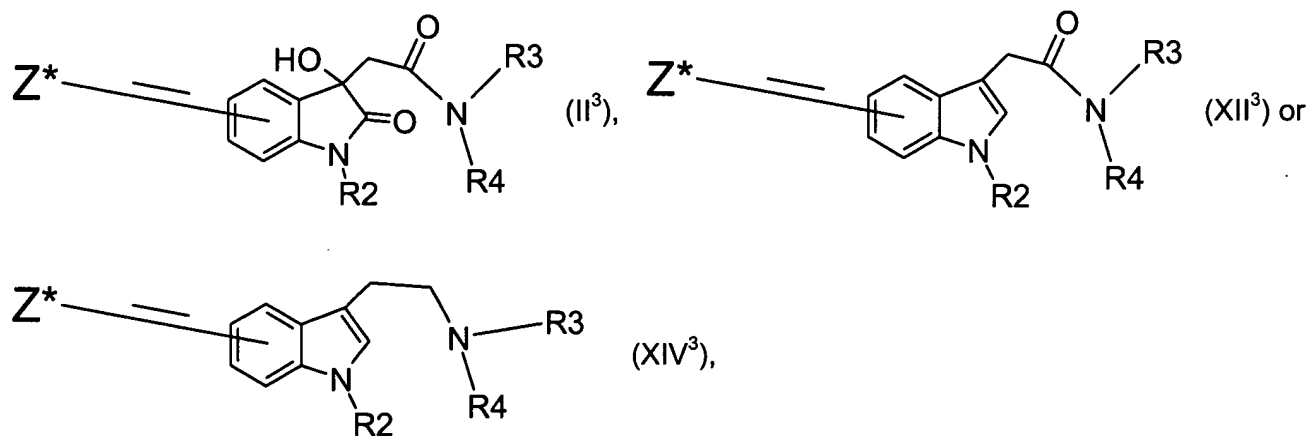




(B)

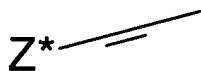
wherein Z is unsubstituted or substituted alkyl, to yield the corresponding compounds of the formulae  $II^2$ ,  $XII^2$  or  $XIV^2$ , respectively; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

28. (currently amended): A process for the reaction of compounds of the formula II, XII or XIV as defined in claim ~~22~~ 26, ~~of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula XIV as defined in any one of claims 22, 23 or 24,~~ with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and ~~R<sub>1</sub>~~ R<sub>1</sub> is halogen, to compounds of the formulae  $II^3$  (from compound II),  $XII^3$  (from compound XII) or  $XIV^3$  (from compound XIV) respectively,



wherein  $Z^*$  is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl,  $(Y)_2N$ -sulfonyl wherein each Y, independently of the other, is hydrogen or unsubstituted or substituted alkyl; or  $Z^*$  is alkoxycarbonyl, cyano or unsubstituted or substituted heterocyclyl, and R2, R3 and R4 are as defined for compounds of the formula II,

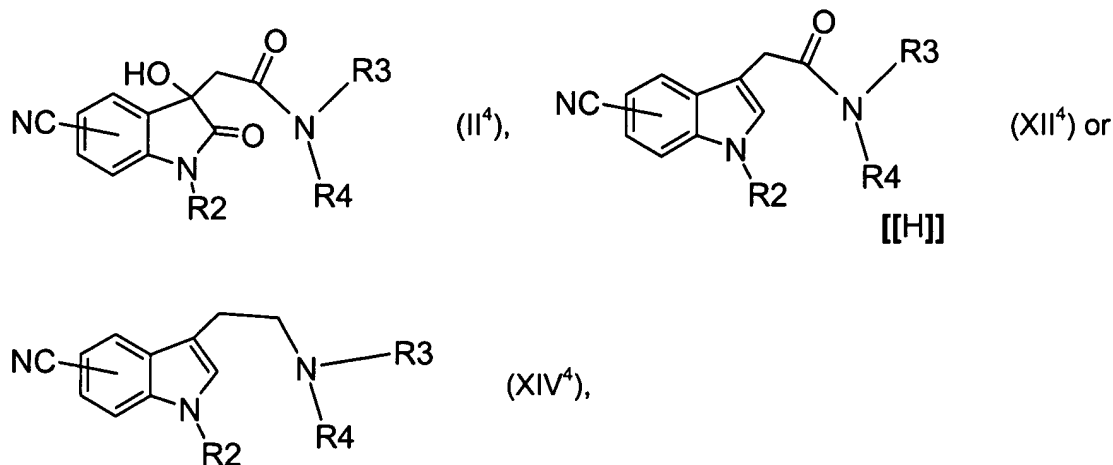
by coupling with a compound of the formula (C),



(C)

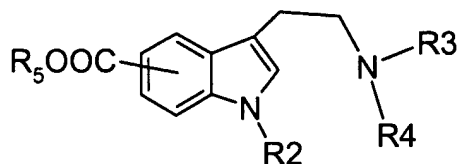
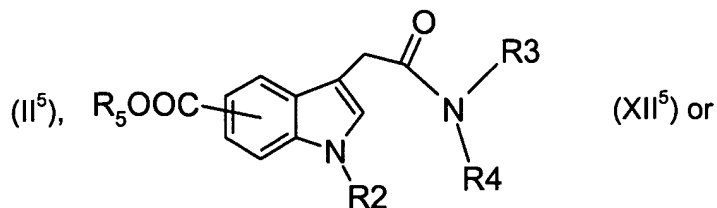
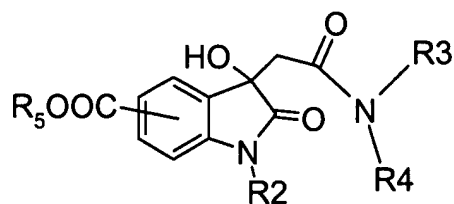
wherein Z\* is as just defined under conditions of or analogous to the Heck reaction to yield the corresponding compounds of the formulae II<sup>3</sup>, XII<sup>3</sup> or XIV<sup>3</sup>, respectively; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

29. (currently amended): A process for the reaction of compounds of the formula II, XII or XIV as defined in claim 22 26, ~~of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula XIV as defined in any one of claims 22, 23 or 24,~~ with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and ~~R<sub>1</sub>~~ R<sub>1</sub> is halogen, to compounds of the formulae II<sup>4</sup> (from compound II), XII<sup>4</sup> (from compound XII) or XIV<sup>4</sup> (from compound XIV) respectively,



wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined ~~above~~ for a compound of the formula II, by reaction with a cyanide salt in the presence of a palladium catalyst; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

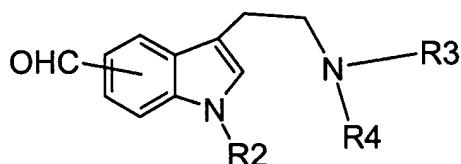
30. (currently amended): A process for the reaction of compounds of the formula II, XII or XIV as defined in claim 22 26, ~~of the formula XII as defined in any one of claims 19, 20 or 24, or of compounds of the formula XIV as defined in any one of claims 22, 23 or 24,~~ with the proviso that in each of the compounds of the formulae II, XII and XIV n is 1 and ~~R<sub>1</sub>~~ R<sub>1</sub> is halogen, to compounds of the formulae II<sup>5</sup> (from compound II), XII<sup>5</sup> (from compound XII) or XIV<sup>5</sup> (from compound XIV) respectively,



(XIV<sup>5</sup>),

wherein R<sub>5</sub> is unsubstituted or substituted alkyl, or unsubstituted or substituted aryl, and R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for the compounds of the formula II, by reaction with CO in the presence of the corresponding alcohol R<sub>5</sub>-OH; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

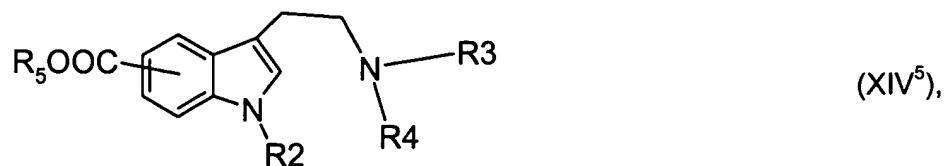
31. (currently amended): A process for the reaction of a compound of the formula XIV as defined in ~~any one of claims 22, 23 or 24~~ claim 22 where n is 1 and R<sub>1</sub> is halogen, comprising converting it into the corresponding compound of the formula XX<sup>6</sup>,



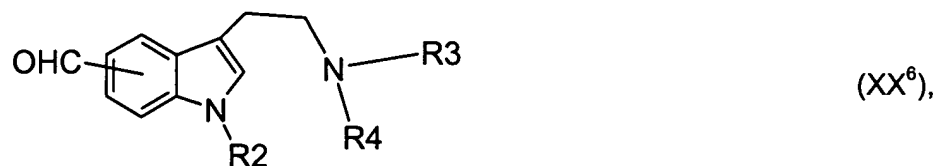
(XX<sup>6</sup>),

wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as defined for the compound of the formula XIV, by reaction with first a lithium alkyl compound to form the lithio derivative and then with DMF or triethyl formate, to obtain the compound of the formula XX<sup>6</sup> after hydrolysis; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

32. (original): A compound of the formula XIV<sup>5</sup>

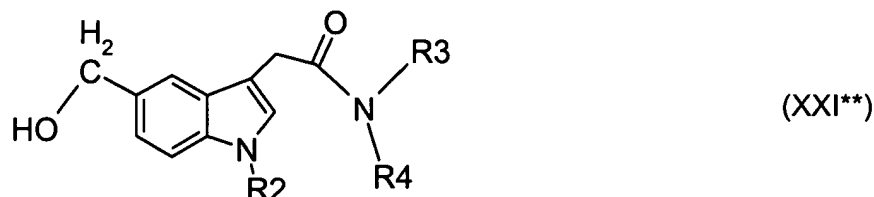


or of the formula XX<sup>6</sup>



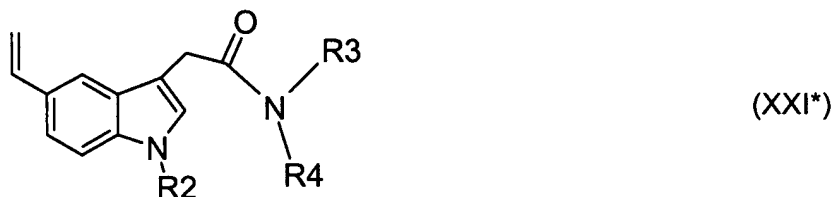
wherein R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are as defined in claim 1 for formula II, provided that one of R<sub>3</sub> or R<sub>4</sub> is not methyl and R<sub>3</sub> and R<sub>4</sub> together are not phthalyl, or a salt thereof.

33. (original): A process for the manufacture of a compound of the formula XXI<sup>\*\*</sup>



wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings indicated for compounds of the formula XX<sup>6</sup> in claim 31, by reduction of the compound of the formula XX<sup>6</sup> in the presence of a selective transition metal catalyst; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

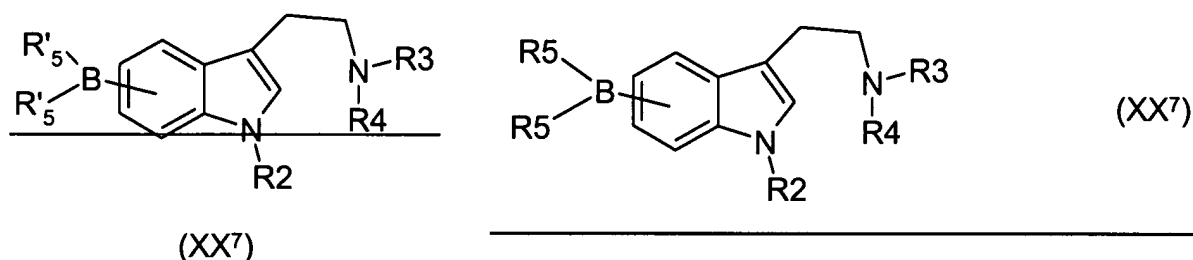
34. (original): A process for the manufacture of a compound of the formula XXI<sup>\*</sup>,



wherein R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> have the meanings indicated for compounds of the formula XX<sup>6</sup> in claim 31,

by conversion of a compound of the formula  $XX^6$  as defined in claim 31 into the corresponding compound of the formula  $XXI^*$  by reaction with a Wittig or Wittig Horner reagent in the presence of a suitable base; or a method for the synthesis of a tryptamine derivative having pharmacologically useful properties comprising said process.

35. (currently amended): A process for the reaction of a compound of the formula XIV as defined in ~~any one of claims 22, 23 or 24~~ claim 22 where n is 1 and  $R_1$  is halogen, comprising converting it into the corresponding compound of the formulae  $XX^7$ ,



wherein  $R_2$ ,  $R_3$  and  $R_4$  are as defined for the compound of the formula XIV, and each of  $R'_5$ ,  $R_5$  independently is hydroxy or an alkoxy residue of a lower alcohol, or the 2 residues  $R'_5$ ,  $R_5$  together are  $C_2$ - $C_8$ alkylene-dioxy,

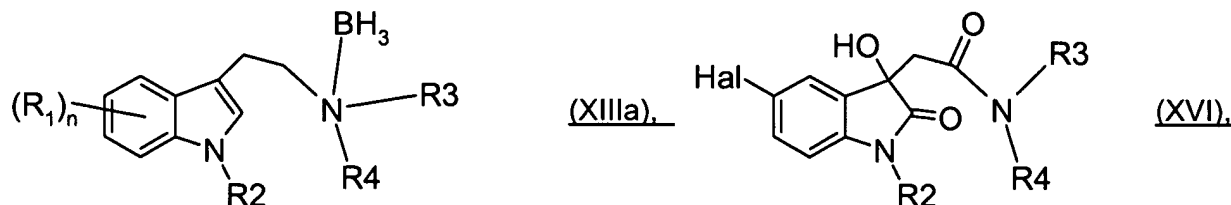
by reaction with first a lithium alkyl compound to form the lithio derivative, and then with an ester of boric acid B,

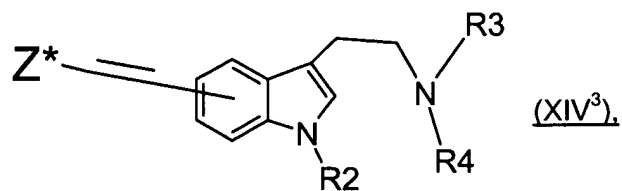
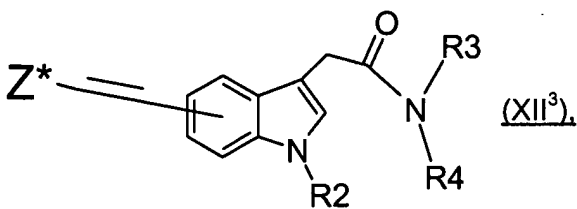
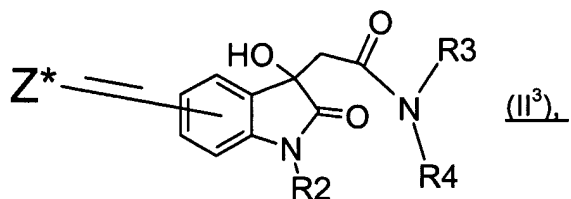
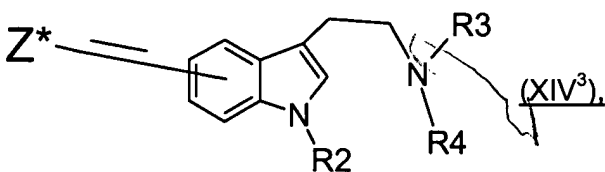
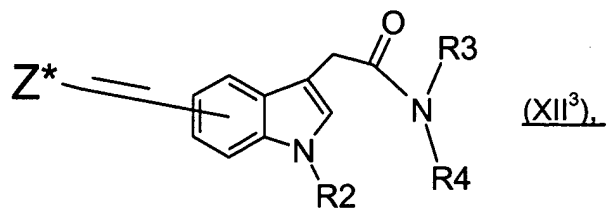
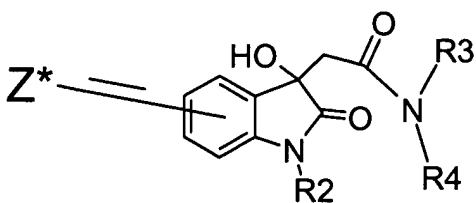
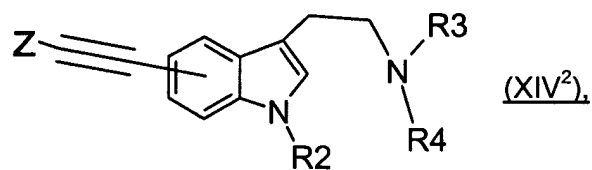
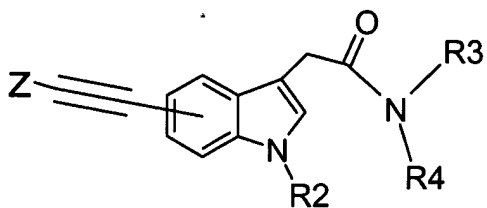
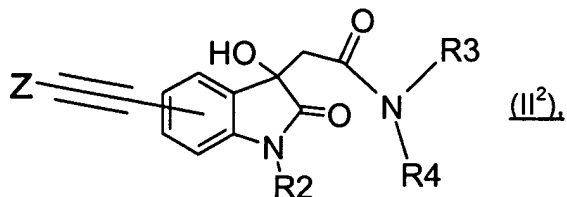
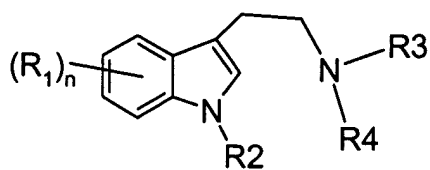
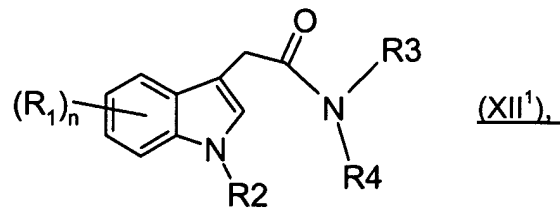
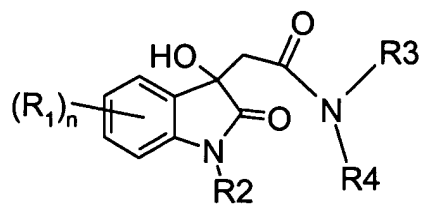


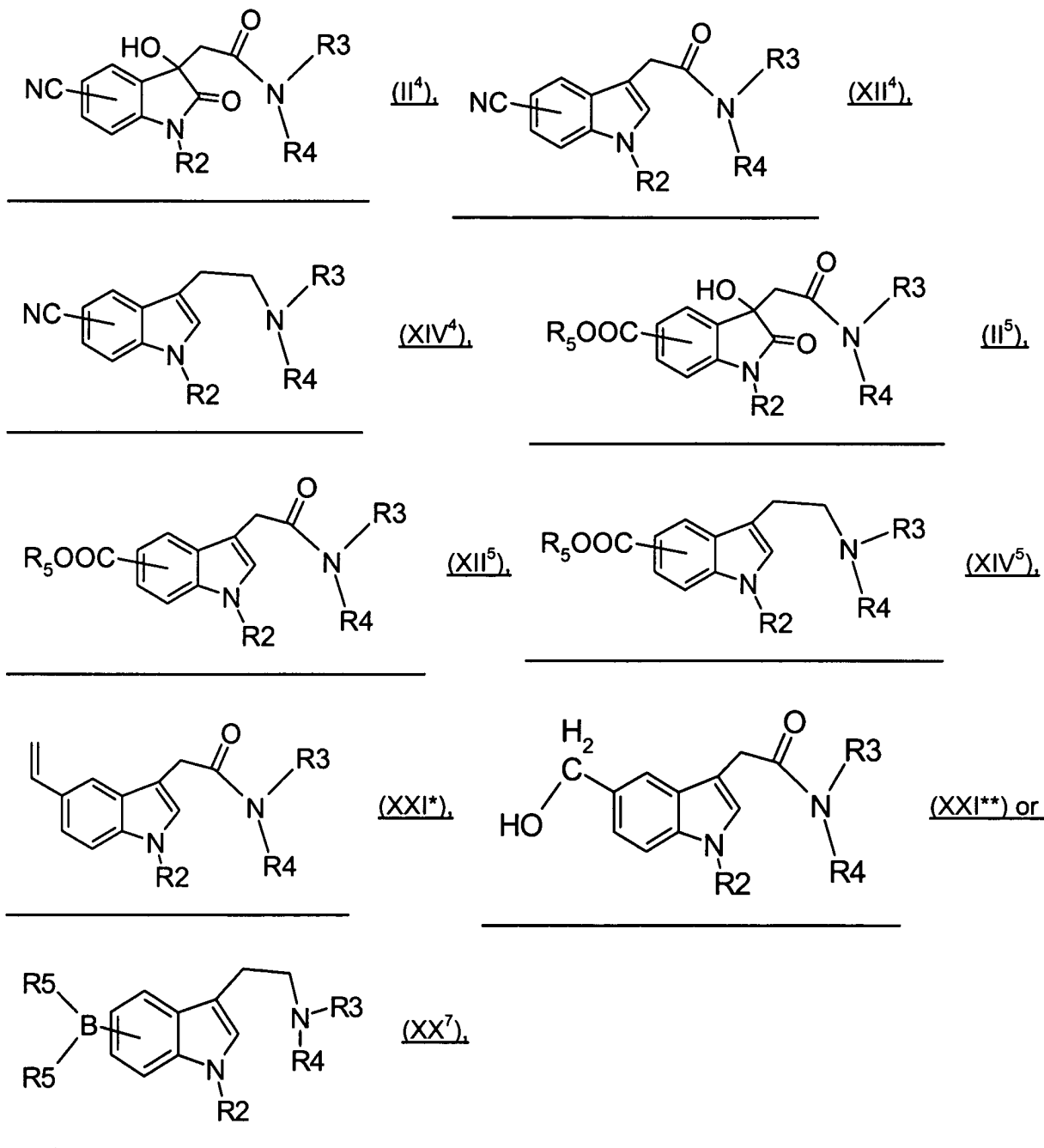
wherein each of  $R_5$  and  $R_6$  independently is an alkoxy residue of a lower alcohol, or the 2 residues  $R_5$  together are  $C_2$ - $C_8$ alkylene-dioxy,

and subsequent hydrolysis, to obtain the compound of the formula  $XX^7$ .

36. (currently amended): A compound of ~~any of~~ the formulae XIIIa, XVI, II<sup>1</sup>, XII<sup>1</sup>, XIV<sup>1</sup>, II<sup>2</sup>, XII<sup>2</sup>, XIV<sup>2</sup>, II<sup>3</sup>, XII<sup>3</sup>, XIV<sup>3</sup>, II<sup>4</sup>, XII<sup>4</sup>, II<sup>5</sup>, XII<sup>5</sup>,  $XX^7$ ,  $XXI^*$  or  $XXI^{**}$







wherein

n is a number from 0 to 4,

each R<sub>1</sub> is, independently of the other substituents R<sub>1</sub>, unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxy, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted

or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

R<sub>2</sub> is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxy carbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R<sub>3</sub> and R<sub>4</sub> are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C<sub>3</sub>-C<sub>8</sub>-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge,

Hal is nitro or halogen,

Z is unsubstituted or substituted alkyl,

Z\* is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, (Y)<sub>2</sub>N-sulfonyl wherein each Y, independently of the other, is hydrogen or unsubstituted or substituted alkyl; or Z\* is alkoxy carbonyl, cyano or unsubstituted or substituted heterocyclyl, and

R<sub>5</sub> is unsubstituted or substituted alkyl, or unsubstituted or substituted aryl, with the proviso that n is 1 or 2 in compounds of the formula II<sup>1</sup>, XII<sup>1</sup> and XIV<sup>1</sup> as defined in claims 21, 25, 26, 27, 28, 29, 30, 33, 34, 35, or a salt thereof.

37-40. (cancelled).